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DNC C1993-020701

TI Phenyl-sulphonamido pyrimidine(s), as endothelin receptor inhibitors - used in circulatory disorders, hypertension, ischaemia, vasospasm and angina.

DC B03

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PA (HOFF) HOFFMANN LA ROCHE & CO AG F; (HOFF) HOFFMANN LA ROCHE INC
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CA 2071193 A 19921214 (199310)

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JP 05222003 A 19930831 (199339) 33

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RO 111268 B 19960830 (199722)

BR 1100090 A3 19970422 (199723)

RU 2086544 C1 19970810 (199814) 17

SK 279006 B6 19980506 (199826)

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63152 T HU 1992-1930 19920610; JP 05222003 A JP 1992-174993 19920610; US

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IL 102138 A IL 1992-102138 19920609; RO 111268 B RO 1992-780 19920611; BR

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AB AU 9218121 A UPAB: 19931119

Phenylsulphonamido pyrimidines of formula (I) and their salts are new. In (I), R1 = H, 1-7C alkyl, 1-7C alkoxy, 1-7C alkylthio, halo or CF3; R2 = H, halo, 1-7C alkoxy, CF3 or OCH2COORa; R3 = H, halo, 1-7C alkyl, 1-7C alkoxy, 1-7C alkylthio, CF3, 3-8C cycloalkyl, or CF3O; R4 = H, 1-7C alkyl, 3-8C cycloalkyl, CF3, 1-7C alkoxy, 1-7C alkylthio, 1-7C alkylthio 1-7C alkyl, 1-7C hydroxyalkyl, 1-7C hydroxyalkoxy, 1-7C alkoxy 1-7C alkyl, 1-7C hydroxyalkoxy 1-7C alkyl 1-7C hydroxyalkoxy 1-7C alkoxy, 1-7C alkylsulphinyl, 1-7C alkylsulphonyl, 2-methoxy-3-hydroxypropoxy, 2-hydroxy-3-phenylpropyl, 1-7C aminoalkyl, 1-7C alkylamino 1-7C alkyl, di-(1-7C alkyl)amino, aryl, arylamino, aryloxy, arylthio, aryl 1-7C alkyl, or heterocyclyl; R5 = H, 1-7C alkyl, 2-8C alkanoyl, benzoyl, heterocyclylcarbonyl, heterocyclylmethyl, or tetrahydropyran-2-yl; R6-R9 = H, halo, CF3, 1-7C alkyl, 1-7C alkoxy, 1-7C alkylthio, OH, CH2OH, CN, COOH, CHO, MeSO, MeSO2, MeSO2O, or 1-7C alkoxy carbonyloxy; or R7 R6 or R7R8 together = butadienyl, OCH2O, OCH2CH2O or OCH2CH2O; Z = O, S, CH2CH2, CH=CH, CO, OCHR10; or SCHR10; R10 = H or 1-7C alkyl; X, Y = O, S or NH; or YR5 = 1-7C alkylsulphinyl or OCH2CH(ORc)CH2ORd; Ra-Rd = H or 1-7C alkyl; or RcRd together = CH2, CH2CH2, or CMe2; and n = 1-3.

USE - (I) are endothelin receptor inhibitors and are used in circulatory vasoconstriction disorders, especially hypertension, ischaemia, vasospasm, and angina pectoris. Other applications are in cardiac insufficiency, cardiac infarct, other coronary disorders, renal and myocardial ischaemia, renal insufficiency, dialysis, cerebral ischaemia, migraine, subarachnoid haemorrhage, Raynaud syndrome and pulmonary high pressure. They can also be used in atherosclerosis, prevention of restenosis after balloon induced vascular dilation, inflammation, gastric and duodenal ulcers, gram-negative sepsis, shock, glomerulonephritis, renal colic, glaucoma, asthma, prophylaxis and therapy of diabetic complications, or cyclosporin admin. complications. Dosage is 0.1-100 mg/kg/day.

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Dwg. 0/0

ABEQ US 5292740 A UPAB: 19940421

Sulphonamide cpds. of formula (I) and their stereoisomers and salts are new. In (I), R1 is H, lower alkyl, lower alkoxy, lower alkylthio, halogen or -CF3; R2 is H, halogen, lower alkoxy, -CF3 or -OCH2COORa; R3 is H, halogen, lower alkyl, lower alkylthio, -CF3, 3-8C cycloalkyl, lower alkoxy or -OCF3, and may form butadienyl, methylenedioxy, ethylenedioxy or isopropylidene-dioxy together with R2; R4 is e.g. H, lower alkyl, 3-8C cycloalkyl, -CF3, lower alkoxy, lower alkylthio, lower alkylthio lower alkyl or hydroxy lower alkyl, etc. R5 is H, lower alkyl, lower alkanoyl, benzoyl or heterocyclylcarbonyl; R6-R9 are each H, halogen, -CF3, lower alkyl, lower alkoxy, lower alkylthio, OH, hydroxymethyl, CN, carboxyl, formyl, methylsulphinyl, methylsulphonyl, methylsulphonyloxy or lower alkyloxycarbonyloxy, or R7 together with R6-R8 forms butadienyl, methylenedioxy, ethylenedioxy or isopropylidenedioxy; Z is -O-, -S-, vinylene, -CO-, OCHR10 or -SCHR10; R10 is H or lower alkyl; X and Y are each O, S or NH, or YR5 is lower alkylsulphinyl; Ra, Rb, Rc and Rd are each H or lower alkyl, or Rc and Rd together form methylene, ethylene is isopropylidene, and n is 1,2 or 3.

Specifically claimed cpds. (I) include p-t-butyl-N-(6-(2-hydroxyethoxy)-5-(o-methoxyphenoxy)-4-pyrimidinyl) benzene sulphonamide. USE - Used for treating disorders associated with endothelin activities (claimed), esp, circulatory disorders e.g. hypertension, ischaemia, vasospasms and angina pectoris.

Dwg. 0/0